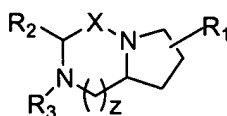


CLAIMS

What is claimed is:

1. A compound having the
5 structure:



(I)

or a stereoisomer or pharmaceutically acceptable salt thereof,

wherein

- 10 R_1 is $-L_1-J$;

R_2 is $(CH_2)_y-W$;

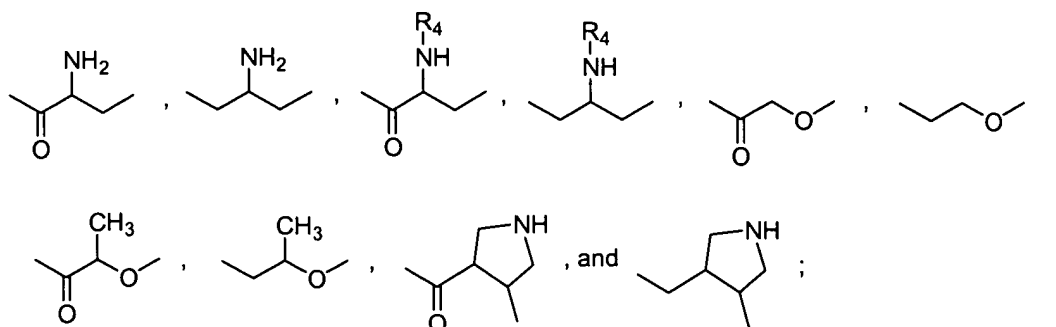
R_3 is $-L_2-Q$;

L_1 is a linker selected from the group consisting of $-(CH_2)_y-$, $-O-(CH_2)_y-$, $-O-$, $-NH-(CH_2)_y-$, $-(C=O)(CH_2)_y-$, $-(C=O)-O-(CH_2)_y-$, and $-CH_2(C=O)NH-$;

- 15 J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carbocyclic rings, substituted or unsubstituted non-aromatic carbocyclic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, substituted or unsubstituted aromatic carbocyclic ring groups wherein the rings are joined by a bond or $-O-$, and substituted or unsubstituted aromatic fused heterobicyclic ring groups; wherein in each instance the
20 rings comprise 5 or 6 ring atoms;

W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N;

L_2 is a linker selected from the group consisting of



Q is an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl;

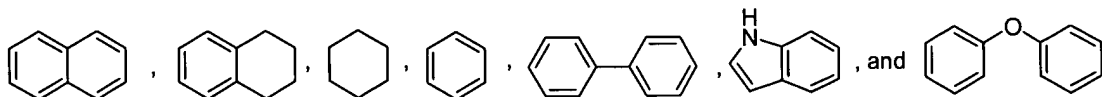
R₄ is a unit selected from the group consisting of an amine capping group, an amino acid residue, and an amino acid residue with an amine capping group;

5 X is CH₂ or C=O;

z is 0 or 1; and

y is at each occurrence independently from 1 to 6.

2. The compound of claim 1 wherein J is a substituted or unsubstituted ring structure
10 selected from the group consisting of

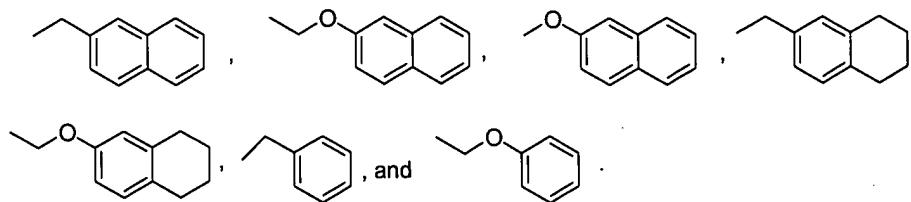


3. The compound of claim 1 wherein at least one ring comprising J is functionalized
15 with one or more halogen, alkyl or aryl groups.

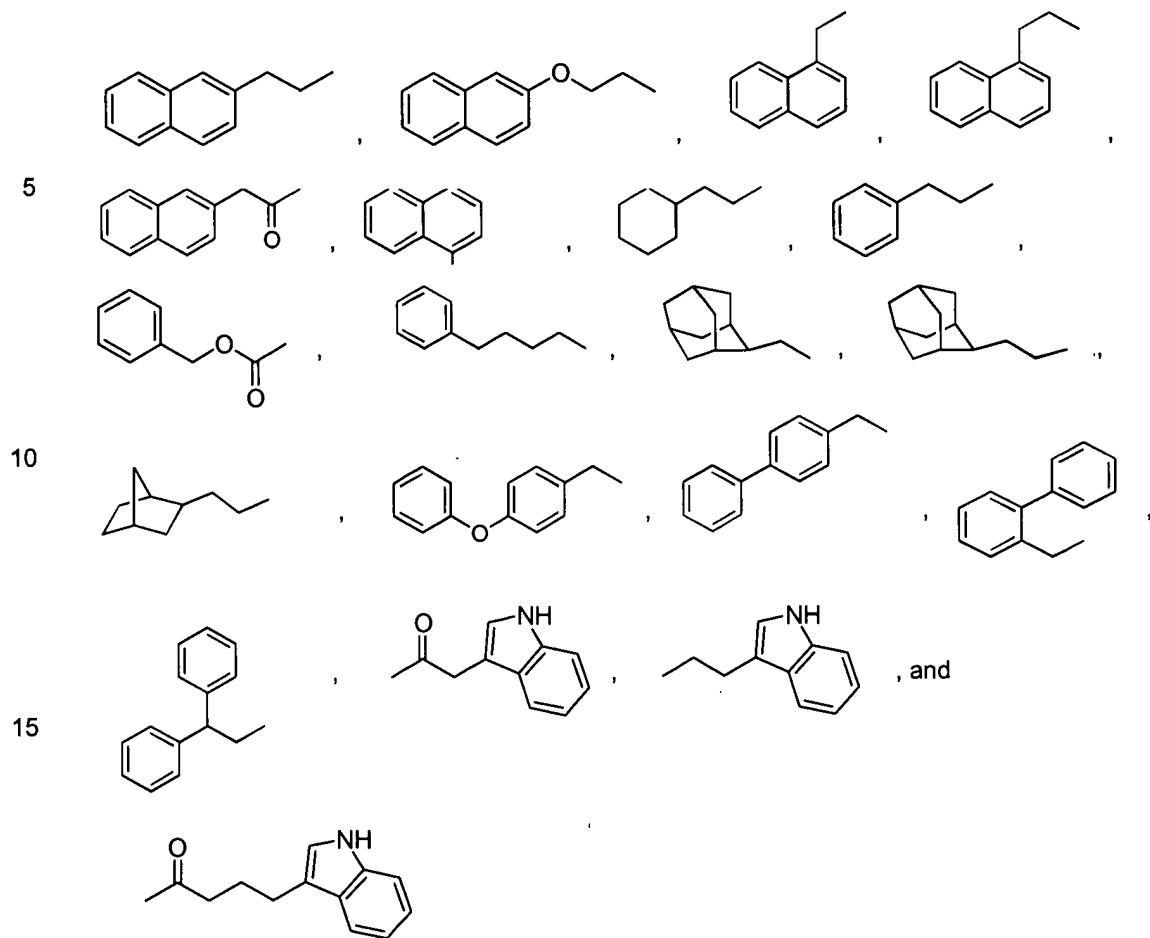
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4. The compound of claim 1 wherein R₁ is selected from the group consisting of

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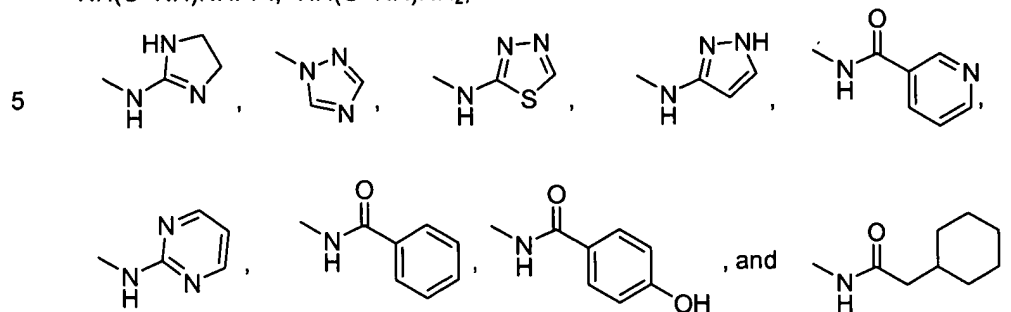


5. The compound of claim 1 wherein R₁ is selected from the group consisting of

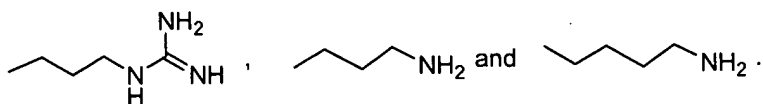


6. The compound of claim 1 wherein W comprises a cationic center selected from the group consisting of NH_2 and $\text{NH}(\text{C}=\text{NH})\text{NH}_2$.

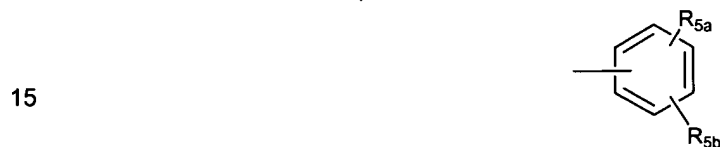
7. The compound of claim 1 wherein W is selected from the group consisting of -NHCOCH₃, -CONHCH₃, -NH(C=NH)NHMe, -NH(C=NH)NH₂Et, -NH(C=NH)NHPr, -NH(C=NH)NHPr-I, -NH(C=NH)NH₂,



8. The compound of claim 1 wherein R₂ is selected from the group consisting of



9. The compound of claim 1 where Q is



and wherein R_{5a} and R_{5b} are optional ring substituents, and when one or both are present, are the same or different and independently hydroxyl, halogen, alkyl, or aryl groups attached directly or through an ether linkage.

10. The compound of claim 9 wherein the alkyl group is -CH₃ or -OCH₃.

11. The compound of claim 1 wherein R₄ is an amine capping group selected from the groups consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, cinnamoyl, 12-Ado, 7'-amino heptanoyl, 6-Ahx, Amc, and 8-Aoc.

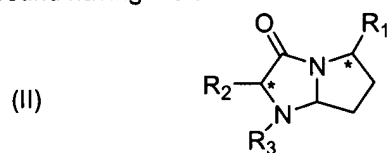
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12. The compound of claim 1 wherein R_3 is a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.
- 5 13. The compound of claim 1 wherein R_3 is a D-amino acid with an amine capping group and an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.
- 10 14. The compound of claim 1 wherein R_3 is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue, wherein the D-amino acid is bonded to the ring nitrogen.
- 15 15. The compound of claim 1 wherein R_3 is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue with an amine capping group.
- 20 16. The compound of claim 1 wherein R_3 comprises a D-amino acid selected from the group consisting of Phe, Phe(2-Cl), Phe(4-Cl), Phe(2,4-diCl), Phe(2,4-diF), Phe(3,4-diCl), Phe(4-NO₂), Phe(4-Me), Phe(4-Phenyl), HPhe, pF-Phe, Phe(4-Br), Phe(4-CF₃), Phe(3,4-diF), Phe(4-I), Phe(2-Cl, 4-Me), Phe(2-Me, 4-Cl), Phe(2-F, 4-Cl), Phe(2,4-diMe), Phe(2-Cl, 4-CF₃), and Phe(3,4-di-OMe).
- 25 17. The compound of claim 1 wherein R_3 comprises a D-amino acid selected from the group consisting of Pgl, Trp, Nal 1, Nal 2, Bip, Dip, Bpa, Ser(Bzl), Ser(2-Naphthyl), Ser(Phenyl), Ser(4-Cl-Phenyl), Ser(2-Cl-Phenyl), Ser(p-Cl-Phenyl), Lys(Z), Lys(Z-2'Br), Lys(Bz), Thr(Bzl), Cys(Bzl), (N-PhEt)Nal2, Phg, 3-Pya, Qal(2'), Sal, Tpi, Tyr(2,6-DiCl-Bzl) and Tyr(Bzl).

18. The compound of claim 1 wherein R₃ comprises a second amino acid residue that is an L-amino acid selected from the group consisting of Abu, 2-Abz, 3-Abz, 4-Abz, Achc, Acpc, Aib, Amb, Arg(Tos), Asp(anilino), Asp(3-Cl-anilino), Asp(3,5-diCl-anilino), 11-Aun, AVA, Beta-hHyp(Bzl), Cha, Chg, Cmpi, Disc, Dpr(beta-Ala), GAA, GBzA, B-Gpa, GVA(Cl), His, hSer, Ser(Bzl), Tic, hHyp, Hyp(Bzl), Inp, 2-Naphthylacetyl, (Nlys)Gly, OcHx, Pip, 4-phenylPro, 5-phenylPro, Pyr, Sar, Tle, Tiq, Atc, Igl, Hyp(O-2-Naphthyl), Hyp(O-Phenyl), 2-Aic, Idc, 1-Aic, Beta-homoSer(Bzl), Ser(O-2-Naphthyl), Ser(O-Phenyl), Ser(O-4-Cl-Phenyl), Ser(O-2-Cl-Phenyl), Thr(Bzl), Tic, Beta-homoThr(Bzl), Thr(O-2-Naphthyl), Thr(O-Phenyl), Thr(O-4-Cl-Phenyl) and Thr(O-2-Cl-Phenyl), Nle, Leu, Ile, Val and Beta-Ala.

19. The compound of claim 1 wherein R₃ comprises an amine capping group selected from the group consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, 7'-amino heptanoyl, 12-Ado, 6-Ahx, Amc, and 8-Aoc.

20. A compound having the structure:



or a stereoisomer or pharmaceutically acceptable salt thereof,

wherein

R₁ is -L₁-J;

R₂ is (CH₂)_y-W;

R₃ is -L₂-Q;

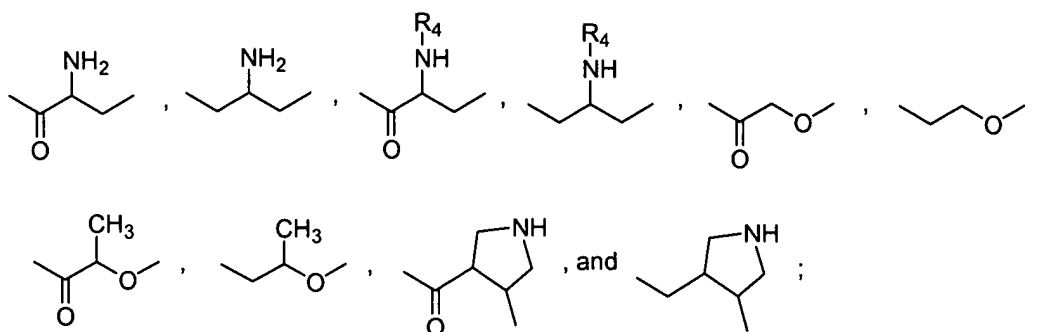
L₁ is a linker selected from the group consisting of -(CH₂)_y-, -O-(CH₂)_y-, -O-, -NH-(CH₂)_y-, -(C=O)(CH₂)_y-, -(C=O)-O-(CH₂)_y-, and -CH₂(C=O)NH-;

J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carbocyclic rings, substituted or unsubstituted non-aromatic carbocyclic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, substituted or unsubstituted aromatic carbocyclic ring groups wherein the rings are joined by a bond or -O-, and

substituted or unsubstituted aromatic fused heterobicyclic ring groups; wherein in each instance the rings comprise 5 or 6 ring atoms;

W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N;

5 L_2 is a linker selected from the group consisting of



Q is an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl;

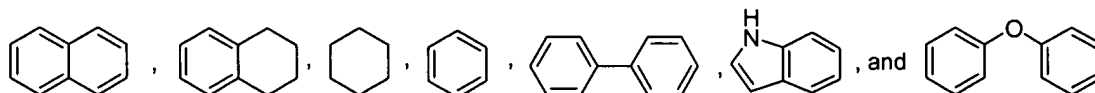
R_4 is a unit selected from the group consisting of an amine capping group, an amino acid residue, and an amino acid residue with an amine capping group; and

10 y is at each occurrence independently from 1 to 6;

wherein the carbon atoms marked with an asterisk can have any stereochemical configuration.

21. The compound of claim 20 wherein J is a substituted or unsubstituted ring structure selected from the group consisting of

15



22. The compound of claim 20 wherein at least one ring comprising J is functionalized with one or more halogen, alkyl or aryl groups.

23. The compound of claim 20 wherein R₁ is selected from the group consisting of



24. The compound of claim 20 wherein R₁ is selected from the group consisting of



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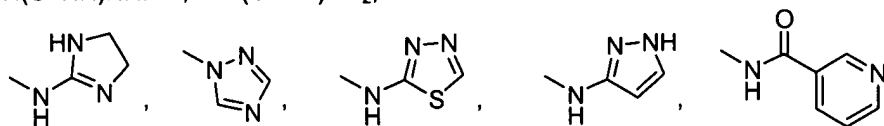
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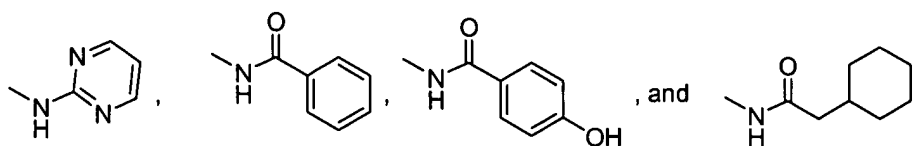
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26. The compound of claim 20 wherein W is selected from the group consisting of -NHCOCH₃, -CONHCH₃, -NH(C=NH)NHMe, -NH(C=NH)NH₂Et, -NH(C=NH)NHPr, -NH(C=NH)NHPr-I, -NH(C=NH)NH₂,

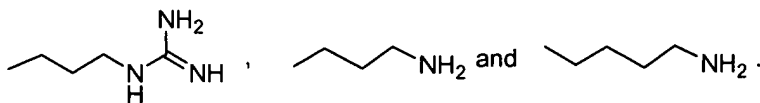
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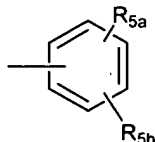


27. The compound of claim 20 wherein R₂ is selected from the group consisting of



28. The compound of claim 20 where Q is

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and wherein R_{5a} and R_{5b} are optional ring substituents, and when one or both are present, are the same or different and independently hydroxyl, halogen, alkyl, or aryl groups attached directly or through an ether linkage.

20

29. The compound of claim 28 wherein the alkyl group is -CH₃ or -OCH₃.

30. The compound of claim 20 wherein R₄ is an amine capping group selected from the groups consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, cinnamoyl, 12-Ado, 7'-amino heptanoyl, 6-Ahx, Amc, and 8-Aoc.

25

31. The compound of claim 20 wherein R_3 is a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.

5 32. The compound of claim 20 wherein R_3 is a D-amino acid with an amine capping group and an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl.

10 33. The compound of claim 20 wherein R_3 is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue, wherein the D-amino acid is bonded to the ring nitrogen.

15 34. The compound of claim 20 wherein R_3 is a dipeptide consisting of a D-amino acid including an aromatic carbocyclic ring selected from the group consisting of phenyl, substituted phenyl, naphthyl and substituted naphthyl and a second amino acid residue with an amine capping group.

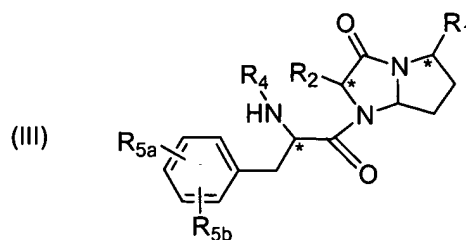
20 35. The compound of claim 20 wherein R_3 comprises a D-amino acid selected from the group consisting of Phe, Phe(2-Cl), Phe(4-Cl), Phe(2,4-diCl), Phe(2,4-diF), Phe(3,4-diCl), Phe(4-NO₂), Phe(4-Me), Phe(4-Phenyl), HPhe, pF-Phe, Phe(4-Br), Phe(4-CF₃), Phe(3,4-diF), Phe(4-I), Phe(2-Cl, 4-Me), Phe(2-Me, 4-Cl), Phe(2-F, 4-Cl), Phe(2,4-diMe), Phe(2-Cl, 4-CF₃), and Phe(3,4-di-OMe).

25 36. The compound of claim 20 wherein R_3 comprises a D-amino acid selected from the group consisting of Pgl, Trp, Nal 1, Nal 2, Bip, Dip, Bpa, Ser(Bzl), Ser(2-Naphthyl), Ser(Phenyl), Ser(4-Cl-Phenyl), Ser(2-Cl-Phenyl), Ser(p-Cl-Phenyl), Lys(Z), Lys(Z-2'Br), Lys(Bz), Thr(Bzl), Cys(Bzl), (N-PhEt)Nal2, Phg, 3-Pya, Qal(2'), Sal, Tpi, Tyr(2,6-DiCl-Bzl) and Tyr(Bzl).

37. The compound of claim 20 wherein R_3 comprises a second amino acid residue that is an L-amino acid selected from the group consisting of Abu, 2-Abz, 3-Abz, 4-Abz, Achc, Acpc, Aib, Amb, Arg(Tos), Asp(anilino), Asp(3-Cl-anilino), Asp(3,5-diCl-anilino), 11-Aun, AVA, Beta-hHyp(Bzl), Cha, Chg, Cmpi, Disc, Dpr(beta-Ala), GAA, GBZA, B-Gpa, GVA(Cl), His, hSer, Ser(Bzl), Tic, hHyp, Hyp(Bzl), Inp, 2-Naphthylacetyl, (Nlys)Gly, OcHx, Pip, 4-phenylPro, 5-phenylPro, Pyr, Sar, Tle, Tiq, Atc, Igl, Hyp(O-2-Naphthyl), Hyp(O-Phenyl), 2-Aic, Idc, 1-Aic, Beta-homoSer(Bzl), Ser(O-2-Naphthyl), Ser(O-Phenyl), Ser(O-4-Cl-Phenyl), Ser(O-2-Cl-Phenyl), Thr(Bzl), Tic, Beta-homoThr(Bzl), Thr(O-2-Naphthyl), Thr(O-Phenyl), Thr(O-4-Cl-Phenyl) and Thr(O-2-Cl-Phenyl), Nle, Leu, Ile, Val and Beta-Ala.

38. The compound of claim 20 wherein R_3 comprises an amine capping group selected from the group consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, 7'-amino heptanoyl, 12-Ado, 6-Ahx, Amc, and 8-Aoc.

39. A compound having the structure:



or a stereoisomer or pharmaceutically acceptable salt thereof,

wherein

R_1 is $-L_1-J$;

R_2 is $(CH_2)_y-W$;

R_4 is H or a unit selected from the group consisting of an amine capping group, a second amino acid residue, and a second amino acid residue with an amine capping group;

R_{5a} and R_{5b} are optional ring substituents, and when one or both are present, are the same or different and independently hydroxyl, halogen, alkyl, or aryl groups attached directly or through an ether linkage;

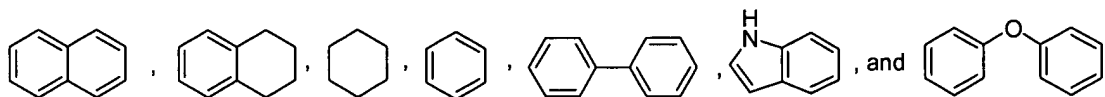
L_1 is a linker selected from the group consisting of $-(CH_2)_y-$, $-O-(CH_2)_y-$, $-O-$, $-NH-(CH_2)_y-$, $-(C=O)(CH_2)_y-$, $-(C=O)-O-(CH_2)_y-$, and $-CH_2(C=O)NH-$;

J is a ring structure selected from the group consisting of substituted or unsubstituted aromatic carbocyclic rings, substituted or unsubstituted non-aromatic carbocyclic rings, substituted or unsubstituted aromatic fused carbobicyclic ring groups, substituted or unsubstituted aromatic carbocyclic ring groups wherein the rings are joined by a bond or $-O-$, and substituted or unsubstituted aromatic fused heterobicyclic ring groups; wherein in each instance the rings comprise 5 or 6 ring atoms;

W is a heteroatom unit with at least one cationic center, hydrogen bond donor or hydrogen bond acceptor wherein at least one atom is N; and

y is at each occurrence independently from 1 to 6;
wherein the carbon atoms marked with an asterisk can have any stereochemical configuration.

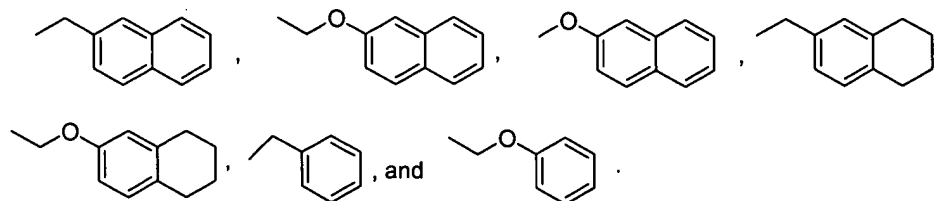
40. The compound of claim 39 wherein J is a substituted or unsubstituted ring structure selected from the group consisting of



41. The compound of claim 39 wherein at least one ring comprising J is functionalized with one or more halogen, alkyl or aryl groups.

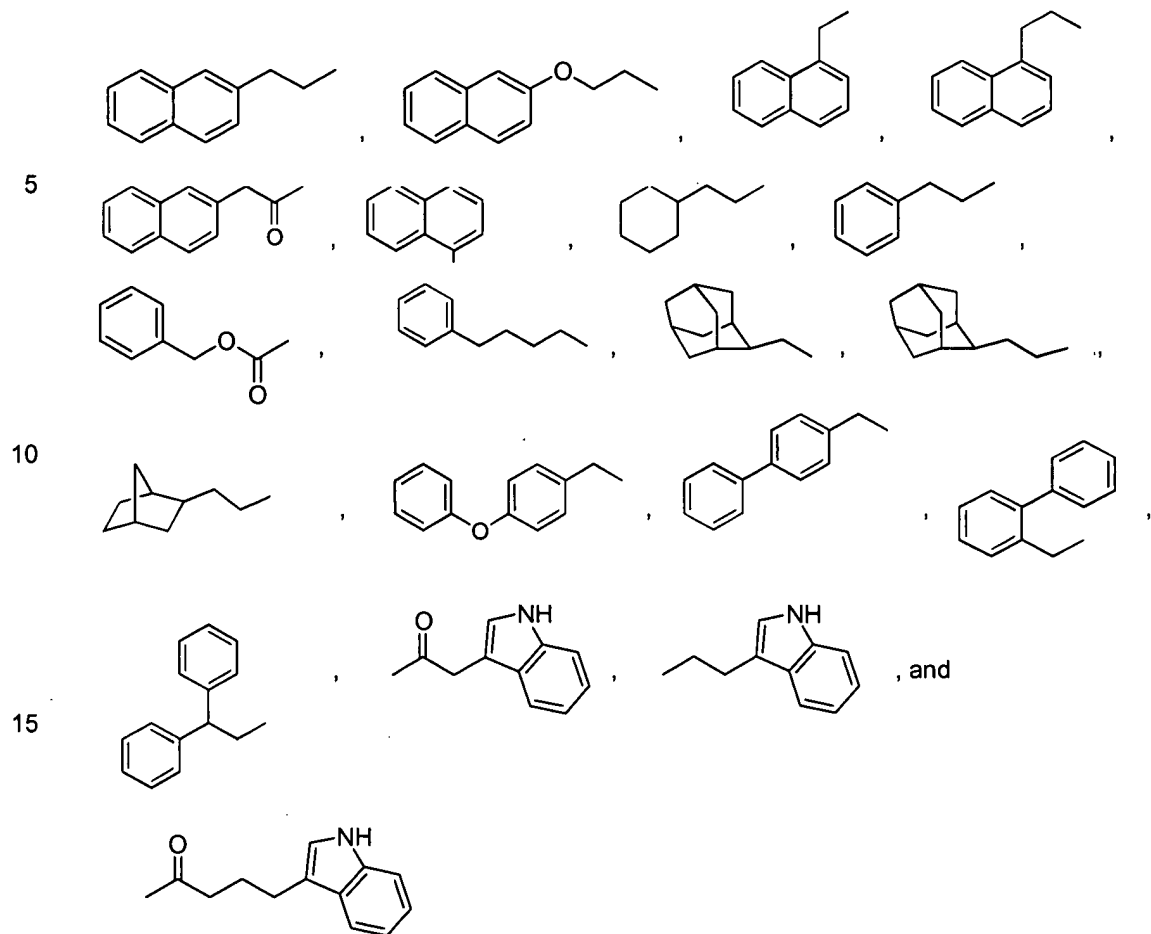
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42. The compound of claim 39 wherein R_1 is selected from the group consisting of



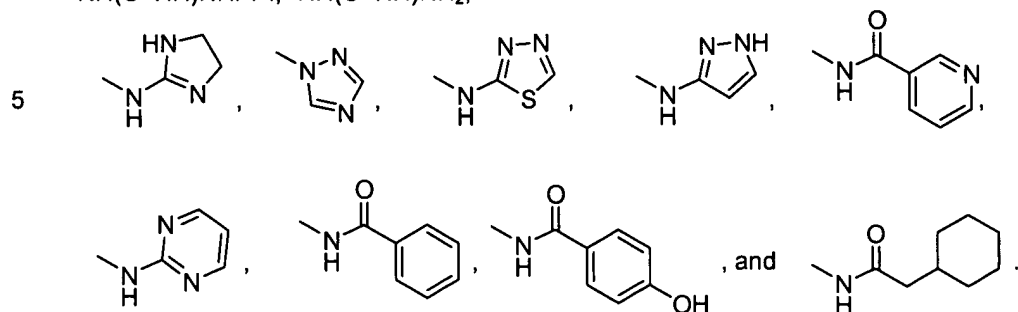
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43. The compound of claim 39 wherein R₁ is selected from the group consisting of

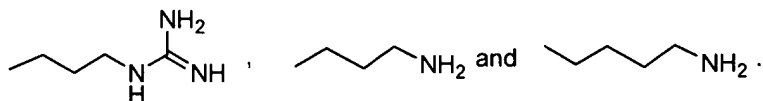


44. The compound of claim 39 wherein W comprises a cationic center selected from the group consisting of NH_2 and $\text{NH}(\text{C}=\text{NH})\text{NH}_2$.

45. The compound of claim 39 wherein W is selected from the group consisting of -NHCOCH₃, -CONHCH₃, -NH(C=NH)NHMe, -NH(C=NH)NH₂t, -NH(C=NH)NHPr, -NH(C=NH)NHPr-I, -NH(C=NH)NH₂,



46. The compound of claim 39 wherein R₂ is selected from the group consisting of



47. The compound of claim 39 wherein R₄ comprises an amine capping group selected from the groups consisting of hexyl, hexanoyl, heptanoyl, acetyl, phenylacetyl, cyclohexylacetyl, naphthylacetyl, cinnamoyl, benzyl, benzoyl, cinnamoyl, 12-Ado, 7'-amino heptanoyl, 6-Ahx, Amc, and 8-Aoc.

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48. The compound of claim 39 wherein R₄ comprises a second amino acid residue that is an L-amino acid selected from the group consisting of Abu, 2-Abz, 3-Abz, 4-Abz, Achc, Acpc, Aib, Amb, Arg(Tos), Asp(anilino), Asp(3-Cl-anilino), Asp(3,5-diCl-anilino), 11-Aun, AVA, Beta-hHyp(Bzl), Cha, Chg, Cmpi, Disc, Dpr(beta-Ala), GAA, GBzA, B-Gpa, GVA(Cl), His, hSer, Ser(Bzl), Tic, hHyp, Hyp(Bzl), Inp, 2-Naphthylacetyl, (Nlys)Gly, OcHx, Pip, 4-phenylPro, 5-phenylPro, Pyr, Sar, Tle, Tlq, Atc, Igl, Hyp(O-2-Naphthyl), Hyp(O-Phenyl), 2-Aic, Idc, 1-Aic, Beta-homoSer(Bzl), Ser(O-2-Naphthyl), Ser(O-Phenyl), Ser(O-4-Cl-Phenyl), Ser(O-2-Cl-Phenyl), Thr(Bzl), Tic, Beta-homoThr(Bzl), Thr(O-2-Naphthyl), Thr(O-Phenyl), Thr(O-4-Cl-Phenyl) and Thr(O-2-Cl-Phenyl), Nle, Leu, Ile, Val and Beta-Ala.

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49. A composition comprising a compound of any of any of the foregoing structure in combination with a pharmaceutically acceptable carrier.

5 50. A method for altering a disorder or condition associated with the activity of a melanocortin receptor, comprising administering to a patient a therapeutically effective amount of the composition of claim 49.

10 51. The method of claim 50 wherein the disorder or condition is an eating disorder.

52. The method of claim 51 wherein the eating disorder is cachexia.

15 53. The method of claim 51 wherein the eating disorder is obesity and associated impairment of energy homeostasis.

54. The method of claim 50 wherein the disorder or condition is sexual dysfunction.

55. The method of claim 54 wherein the sexual dysfunction is erectile dysfunction.

20 56. The method of claim 54 wherein the sexual dysfunction is female sexual dysfunction.